Grant L02 13 1,2,11,14 103 3,4,9,10

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Obj 15-18

☐ 1. Document ID: WO 9118868 A, US 5604228 A, AU 9180032 A, PT 97770 A, ZA 9103997 A, EP 532642 A1, JP 05508836 W, US 5312840 A, AU 653729 B, US 5385946 A, IE 63076 B, EP 532642 A4, US 5478863 A, US 5502255 A, US 5574070 A

L14: Entry 1 of 1 File: DWPI Dec 12, 1991

DERWENT-ACC-NO: 1992-007387
DERWENT-WEEK: 199713

TITLE: New di:substd. guanidine and 2-imino-imidazolidine derivs. - bind to sigma receptor for treatment of psychosis, schizophrenia, hypertension, etc., and for diagnosis

INVENTOR: KEANA, J F W; WEBER, E ; KEANA, J F ; WEBWE, E ; KEANA, J

PRIORITY-DATA: 1991US-0657759 (February 21, 1991), 1990US-0528216 (May 25, 1990), 1986US-0884150 (July 10, 1986), 1988US-0254068 (October 6, 1988), 1989US-0346494 (May 2, 1989), 1993US-0023880 (February 25, 1993), 1993US-0047551 (February 23, 1993), 1993US-0021247 (February 23, 1993), 1987WO-US01545 (June 26, 1987), 1993US-0021051 (February 23, 1993), 1993US-0952849 (January 22, 1993), 1994US-0226770 (April 12, 1994)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 9118868 A	December 12, 1991		000	
US 5604228 A	February 18, 1997		019	A61K031/495
AU 9180032 A	December 31, 1991		000	
PT 97770 A	June 30, 1992		000	C07C277/00
ZA 9103997 A	October 28, 1992		118	C07C000/00
EP 532642 A1	March 24, 1993	E	117	C07C279/00
JP 05508836 W	December 9, 1993		030	C07C279/16
US 5312840 A	May 17, 1994		022	A61K031/155
AU 653729 B	October 13, 1994		000	A61K031/655
US 5385946 A	January 31, 1995		011	A61K031/155
IE 63076 B	March 22, 1995		000	C07C279/00
EP 532642 A4	April 27, 1994		000	
US 5478863 A	December 26, 1995		018	A61K031/155
US 5502255 A	March 26, 1996		019	C07C279/04
US 5574070 A	November 12, 1996		039	A61K031/155

INT-CL (IPC): A61K 31/155; A61K 31/34; A61K 31/35; A61K 31/37; A61K 31/38; A61K 31/40; A61K 31/41; A61K 31/415; A61K 31/42; A61K 31/44; A61K 31/495; A61K 31/505; A61K 31/65; A61K 31/655; C07C 0/00; C07C 277/00; C07C 279/00; C07C 279/04; C07C 279/16; C07C 279/18; C07C 331/28; C07D 233/46

ABSTRACTED-PUB-NO: US 5312840A
BASIC-ABSTRACT:

Disubstd. guanidines and 2-imino-imidazolides of formulae (III) and (IV) respectively, show a high affinity for the sigma receptor. In the formulae X and Y are each 1-12C alkylene (opt. unsatd.); or one of X and Y = a bond; R and R' are each H, cycloalkyl, carboxylic aryl, or aralkyl, all contg. 1-3 separate or fused rings, or a heterocycli c ring, and all opt. substd. in 1-3 positions; and R0 and R'' = R, R' or R0R'' together form a satd. or unsatd. ring contg. at least 5C (opt. substd. with one or more 1-6C alkyl, carboxyclic aryl, 3-12C cycloalkyl, or 1-2 fused aromatic rings).

Also claimed as active are 23 cpds. (I), as (III) but with X and Y absent, e.g. N-(o-tolyl)-N'- (o-iodophenyl)guanidine.

USE/ADVANTAGE - Selective sigma receptor binding is of partic. value in reducing or eliminating undesirable extrapyramidal side effects associated with present antipsychotic medications. (III) and (IV) are used in the treatment and prevention of psychotic mental illness associated with hallucinations, esp. schizophrenia; of depression; of anxiety, for which they have activity 100-1000 times greater than benzodiazepines without sedative activity; and of hypertension. (III) and (IV) can be radiolabelled, esp. with tritium and used for assay of the sigma receptor binding activity of a cpd. by a competition method. Unlabelled (III) and (IV) may also be used to study the relationship of abnormal psychotic-like behaviour to sigma receptor system dysfunction in mammals, by admin. of an amt. known to alter the sigma brain receptor modulated mental activity. (III) and (IV) are also vulcanisation accelerators for rubber. Therapeutic dosage to mammals including humans is orally 0.0025-15, pref. 0.01-10 g/kg, or for i.m. injection about half these figures.
ABSTRACTED-PUB-NO:

US 5385946A EQUIVALENT-ABSTRACTS:

Treatment of hallucinogenic psychotic mental illness comprises admin. a non-heterocyclic N,N'-disubstd. guanidine to antagonise sigma receptor binding of a hallucinogenic benzomorphan.

N.N'-disubstd. quanidines includes N,N'-dibutyl-. N,N'-di-o-tolyl-, N,N'-diphenyl-, N,N'-di(2-methyl-4-bromophenyl)-, N,N'-di-(2-methyl-4-iodophenyl)-guanidine. A specifically claimed cpd. is N-(1-adamantyl)-N'- (o-tolyl)guanidine or salts.

Other guanidines are of formula (I) RNH-C(NHR')=NH with R and R' each at least 5C alkyl, 3-12C cycloalkyl, or at least 6C carbocyclic aryl, and of formula (II) RXNH2C(NHYR1)=NH with X and Y independently 1-12C alkylene or 2-12C unsatd. alkylene or one of X and Y is a single bond and R and R' are independently H, 3+C acylalkyl, 6+C carbocyclic aryl contg. 1-3 separate or fused rings and each R and R' opt. substd. in 1-3 positions.

USE - Treatment of schizophrenia, depression and hypertension by selective binding to sigma receptors. Dosage is e.g. 0.0025-15(0.01-10)mg/ kg orally or intramuscularly.

Treating hypertension comprises admin. of a N,N'-disubstd guanidine of formula R-NH-C(=NH)-NH-R', where R and R' are each (substd) 1-4 C alkyl, 3-12 C cycloalkyl or at least 6 C carbocyclyl and R and/or R' is adamantyl.

R and R' are pref each adamantyl, cyclohexyl or an at least 6 C monocyclic aryl, each opt substd by 1-8C (OH)alkyl, halogen, OH, NO2, azido, CN, NCO, NH2, lower alkylamino, di-lower alkyl amino, CF3, 1-8C alkoxy or alkanoyloxy, amido or carbamido. The cpd is esp N-(1-adamantyl)n'-dibutylguanidine,
N-(1-adamantyl)-N'-(o-tolyl)guanid ine,
(+)-N-(2-exonorbornyl)n'-(2-I-Ph)-guanidine and
(-)-N-(exo-2-isoborny l)-N'-(o-tolyl)guanidine.

USE/ADVANTAGE - Used for the treatment of hypertension in animals. Radioactively tagged for the assay in vitro of the sigma receptor binding activity of organic cpds. The cpds bind selectively to sigma receptor sites.

US 5478863A

A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound selected from
N-(2-methyl-4-azidophenyl)-N'-(2-methylphenyl)guanidine,
N,N'-di(o-me thylbenzyl)guanidine,
N,N'-di(o-methylbenzyl)guanidine, and N,N'-dibenzylg uanidine.

US 5502255A

A compound selected from the group consisting of
N-(2-methyl-4-azidophenyl)- N'-(2-methylphenyl)guanidine,
N,N'-di(o-methylbenzyl) guanidine, N,N-di(o-methylbenzyl) guanidine and N,N'-dibenzylguanidine.

US 5574070A

A pharmaceutical composition, in unit dosage form, which comprises, per unit dose, an amount effective to alter the sigma brain receptor modulated activity of a human being, of a water soluble N,N'-disubstituted guanidine which displaces in vitro N,N'-di-(4-[3H]-2-methylphenyl)guanidi ne bound to isolated mammalian brain membrane, wherein said N,N'-disubstit uted guanidine is selected from the group consisting of:N-(adamantan-1-yl) -N'- (2-trifluoromethylphenyl) guanidine;

and N-(adamantan-1-yl)-N'- (2-trifluoromethyl -4-fluorophenyl)guanidine.

US 5604228A

A method of treating a human being suffering from a psychotic disease comprises administering an effective amount of a compound of formula(I):

where X and Y are independently a branched or straight 1-12C alkylene or a branched or straight 2-12C unsaturated alkylene or where one of X and Y is a single bond; R and R' are independently hydrogen, a cycloalkyl of at least 3C atoms, a carbocyclic aryl of at least 6C atoms, aralkyl of at least 6C atoms and containing 1-3 separate or fused rings or a heterocyclic ring, with at least one of R and R' being selected from the group consisting of pyridyl, pyrrolyl, furyl, pyrolyl, thienyl, thiazolyl, thiophenyl, benzofuranyl, pyrazinyl, oxazolyl, imidazolyl, indolyl and benzothiazolyl,

and where R and R' may be substituted in 1-3 positions.

WO 9118868A

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Term	Documents
HUMAN.DWPI.	96145
HUMANS.DWPI.	16023
GUANIDINE.DWPI.	5159
GUANIDINES.DWPI.	569
SALT.DWPI.	251381
SALTS.DWPI.	163091
(8 AND (GUANIDINE NEAR2 SALT) AND 9 AND HUMAN).DWPI.	1

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L15: Entry 1 of 2 File: DWPI Nov 10, 1994

DERWENT-ACC-NO: 1994-357891
DERWENT-WEEK: 199444

TITLE: Treating prostate disorders with potassium iodide - for relief of benign prostatic hyperplasia, prostate carcinoma or chronic prostatitis symptoms

INVENTOR: BHATTA, K M; EVENSTAD, K L

PRIORITY-DATA: 1993US-0056130 (April 30, 1993)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 9425040 A1	November 10, 1994	E	010	A61K033/18
US 5462753 A	October 31, 1995		003	A61K033/36

INT-CL (IPC): A61K 33/18; A61K 33/36

ABSTRACTED-PUB-NO: US 5462753A
BASIC-ABSTRACT:

Treatment of symptomatic benign prostatic hyperplasia (BPH), prostate symptoms caused by carcinoma of the prostate or chronic prostatitis, in a human or other animal, comprises administration of potassium iodide. Also claimed are compsns. for treating the above conditions, comprising KI in a carrier.

USE - KI is administered orally or directly to the prostate. Average daily dosage is 50-1000 mg for 5-10 days, esp. 300-600 mg for 10 days.

ADVANTAGE - KI markedly improves urine flow and provides relief from BPH symptoms without use of surgery or expensive drugs.
ABSTRACTED-PUB-NO:

WO 9425040A EQUIVALENT-ABSTRACTS:

Treating symptomatic benign prostatic hyperplasia in a human male, comprises administering orally to the male an average daily dosage of 50-1000 (pref. 300-600) mg. of potassium iodide for a period of 5 to 10 (pref. 10) days.

USE/ADVANTAGE - The treatment is effective against symptomatic benign prostatic hyperplasia (BPH). The treatment shows improvements in uroflow and relief from symptoms of BPH.

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L17: Entry 1 of 6 File: DWPI Dec 21, 2000

DERWENT-ACC-NO: 2001-137703
DERWENT-WEEK: 200170

TITLE: Treating chemokine mediated disease e.g. malaria, restenosis, osteoporosis and diseases caused by hepatitis viruses comprises administering urea or thiourea derivative

INVENTOR: BENSON, G M; HERTZBERG, R P ; JUREWICZ, A J ; RUTLEDGE, M C ; VEBER, D F ; WIDDOWSON, K L

PRIORITY-DATA: 1999US-139675P (June 16, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 200076495 A1	December 21, 2000	E	101	A61K031/27
AU 200057413 A	January 2, 2001		000	A61K031/27

INT-CL (IPC): A61K 31/27

ABSTRACTED-PUB-NO: WO 200076495A
BASIC-ABSTRACT:

NOVELTY - Treating chemokine mediated diseases, where the chemokine binds to an IL-8 alpha or beta receptor, e.g. malaria, restenosis, angiogenesis, atherosclerosis, osteoporosis, gingivitis, undesired hematopoietic stem cells release and diseases caused by respiratory, herpes and hepatitis viruses comprises administering a urea or thiourea derivative (I).

DETAILED DESCRIPTION - Treating a chemokine mediated disease state, where the chemokine binds to an IL-8 alpha or beta receptor, comprising malaria, restenosis, angiogenesis, atherosclerosis, osteoporosis, gingivitis, undesired hematopoietic stem cells release and diseases caused by respiratory, herpes and hepatitis B and C viruses, comprises administering a urea or thiourea derivative of formula (I) or its salts.

X = O or S;

R = a functional group having an ionizable H and a pKa of upto 10;

R1, Y = H, halo, NO2, CN or 1-10C alkyl or 1-10C alkoxy (both

optionally substituted by halo), 2-10C alkenyl, azide, S(O)tR4, OH, OH(1-4C alkyl), aryl, aryl(1-4C alkyl), aryloxy, aryl(1-4C alkoxy), heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclyl(1-4C alkyl), heteroaryl(1-4C alkoxy), aryl(2-10C alkenyl), heteroaryl(2-10C alkenyl), heterocyclyl(2-10C alkenyl), NR4R5, 2-10C alkenyl(C(O)NR4R5), C(O)NR4R5, C(O)NR4R10, S(O)3H, S(O)3R8, 1-10C alkyl(C(O)R11), 2-10C alkenyl(C(O)R11), 2-10C alkenyl(C(O)OR11), C(O)R11, C(O)OR11, C(O)OR12, OC(O)R11 or NR4C(O)R11, or

R1 + R1 or Y + Y = -O(CH2)sO- or a 5- to 6-membered unsaturated ring;

t = 0-2;

s = 1-3;

R4, R5 = H or 1-4C alkyl, aryl, aryl(1-4C alkyl), heteroaryl, or heteroaryl(1-4C alkyl) (all optionally substituted), heterocyclyl or heterocyclyl (1-4C alkyl), or

NR4R5 = 5-7 membered ring optionally containing an additional O, N or S atom;

m, n = 1-3;

R8 = H or 1-4C alkyl;

R10 = 1-10C alkyl CO2R8;

R11 = H or aryl, aryl(1-4C alkyl), heteroaryl, heteroaryl(1-4C alkyl), heterocyclyl or heterocyclyl(1-4C alkyl) (all optionally substituted) and

R12 = H, 1-10C alkyl or optionally substituted aryl or arylalkyl.

ACTIVITY - Antipsoriatic; dermatological; antiarthritic; antiasthmatic; respiratory; gastrointestinal; cerebroprotective; antibacterial; immunosuppressive; cardiant; nephrotropic; thrombolytic; neuroprotective; protozoacide; antiarteriosclerotic; osteopathic; virucide.

MECHANISM OF ACTION - Chemokine antagonist; interleukin-8 (IL-8) alpha or beta receptor antagonist.

In an in vitro receptor binding assay using (125I)IL-8 (human recombinant), (I) e.g. N-(2-hydroxy-4-(methoxycarbonyl)phenyl)-N'-phenylu- rea, exhibited IC50 values of 45 to less than 1 mu g/ml for IL-8 receptor inhibition.

USE - Used for treating chemokine mediated diseases, particularly psoriasis, atopic dermatitis, arthritis, asthma, chronic obstructive pulmonary disease, adult respiratory distress syndrome, inflammatory bowel disease, Crohn's disease, ulcerative colitis, stroke, septic shock, endotoxic shock, gram

negative sepsis, toxic shock syndrome, cardiac and renal reperfusion injury, glomerulonephritis, thrombosis, graft versus host disease, Alzheimer's disease, allograft rejections, malaria, restenosis, angiogenesis, atherosclerosis, osteoporosis, gingivitis, undesired hematopoietic stem cells release and viral diseases caused by rhinovirus, influenza, herpes (including simplex I and II) and hepatitis (including B and C).